

549,037

10/540037

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
8 July 2004 (08.07.2004)

PCT

(10) International Publication Number
WO 2004/056824 A2

(51) International Patent Classification⁷: **C07D 471/04**,
A01N 43/90

(21) International Application Number:
PCT/GB2003/005248

(22) International Filing Date: 3 December 2003 (03.12.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0230018.4 23 December 2002 (23.12.2002) GB

(71) Applicants (for all designated States except US): **SYNGENTA LIMITED** [GB/GB]; European Regional Centre Priestley Road, Surrey Research Park, Guildford, Surrey GU2 7YH (GB). **SYNGENTA PARTICIPATIONS AG** [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **CROWLEY, Patrick, Jelf** [GB/GB]; Syngenta Limited, Jealott's Hill International Research Centre, Bracknell, Berks RG42 6EY (GB). **DOBLER, Markus** [CH/CH]; Syngenta

Participations AG, Schwarzwaldallee 215, CH-4058 Basel (CH). **MUELLER, Urs** [CH/CH]; Syngenta Participations AG, Schwarzwaldallee 215, CH-4058 Basel (CH). **WILLIAMS, John** [GB/GB]; Syngenta Limited, Jealott's Hill International Research Centre, Bracknell, Berks RG42 6EY (GB).

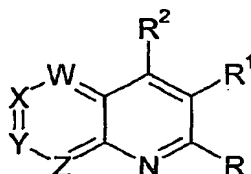
(74) Agents: **HOUGHTON, Malcolm, John et al.**; Intellectual Property Department, Syngenta Limited, P.O. Box 3538, Jealott's Hill International Research Centre, Bracknell RG42 6YA (GB).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),

[Continued on next page]

(54) Title: FUNGICIDES



(1)

(57) Abstract: Fungicidal compositions of the general formula (1): wherein one of W, X, Y and Z is N and the others are CR⁸; R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl, provided that when X is CH, Z is N, R is NHNH₂, R¹ is phenyl and R² is Cl, W and Y are not both CCH₃; one of R and R² is NR³R⁴ and the other is halo, C₁₋₈ alkyl, C₁₋₈ alkoxy, C₁₋₈ alkylthio, C₂₋₈ alkenyl, C₂₋₈ alkynyl or cyano; R¹ is aryl, heteroaryl, morpholino, piperidino or pyrrolidino; R³ and R⁴ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)-alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl, heteroaryl(C₁₋₈)alkyl, NR⁵R⁶, provided that not both R³ and R⁴ are H or NR⁵R⁶, or R³ and R⁴ together form a C₃₋₇ alkenylene or C₃₋₇ alkenylene chain optionally substituted with one or more C₁₋₄ alkyl or C₁₋₄ alkoxy groups, or, together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine, thiomorpholine, thiomorpholine S-oxide or thiomorpholine S-dioxide ring or a piperazine or piperazine N-(C₁₋₄)alkyl (especially N-methyl) ring; and R⁵ and R⁶ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)-alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl or heteroaryl(C₁₋₈)alkyl; any of the foregoing alkyl, alkenyl, alkynyl or cycloalkyl groups or moieties (other than for R⁸) being optionally substituted with halogen, cyano, C₁₋₆ alkoxy-C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxycarbonyl, C₁₋₆ haloalkoxy, C₁₋₆ alkylthio, tri(C₁₋₄)alkylsilyl, C₁₋₆ alkylamino or C₁₋₆ ialkylamino, any of the foregoing morpholine, thiomorpholine, piperidine, piperazine and pyrrolidine rings being optionally substituted with C₁₋₄ alkyl (especially methyl), and any of the foregoing aryl or heteroaryl groups or moieties being optionally substituted with one or more substituents selected from halo, hydroxy, mercapto, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₂₋₆ alkenyloxy, C₂₋₆ alkynyloxy, halo(C₁₋₆)alkyl, halo(C₁₋₆)alkoxy, C₁₋₆ alkylthio, halo(C₁₋₆)alkylthio, hydroxy(C₁₋₆)alkyl, C₁₋₄ alkoxy(C₁₋₆)alkyl, C₁₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenoxy, benzyloxy, benzoyloxy, cyano, isocyano, thiocyanato, isothiocyanato, nitro, -NHCOR^{''}, -NHCONR^{''}R^{''}, -CONR^{''}R^{''}, -SO₂R^{''}, -OSO₂R^{''}, -COR^{''}, -CR^{''}=NR^{''} or -N=CR^{''}R^{''}, in which R^{''} and R^{'''} are independently hydrogen, C₁₋₄ alkyl, halo-(C₁₋₄)alkyl, C₁₋₄ alkoxy, halo(C₁₋₄)alkoxy, C₁₋₄ alkylthio, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenyl or benzyl groups beings optionally substituted with halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy.

WO 2004/056824 A2

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau(43) International Publication Date
8 July 2004 (08.07.2004)

PCT

(10) International Publication Number
WO 2004/056824 A3(51) International Patent Classification⁷: C07D 471/04,
A01N 43/90(21) International Application Number:
PCT/GB2003/005248

(22) International Filing Date: 3 December 2003 (03.12.2003)

(25) Filing Language: English

(26) Publication Language: English

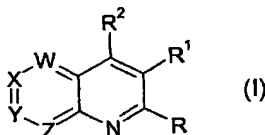
(30) Priority Data:
0230018.4 23 December 2002 (23.12.2002) GB(71) Applicants (for all designated States except US): SYN-
GENTA LIMITED [GB/GB]; European Regional Centre
Priestley Road, Surrey Research Park, Guildford, Surrey
GU2 7YH (GB). SYNGENTA PARTICIPATIONS AG
[CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH).

(72) Inventors; and

(75) Inventors/Applicants (for US only): CROWLEY,
Patrick, Jelf [GB/GB]; Syngenta Limited, Jealotts Hill
International Research Centre, Bracknell, Berkshire RG426EY (GB). DOBLER, Markus [CH/CH]; Syngenta Crop
Protection AG, Schwarzwaldallee 215, CH-4058 Basel
(CH). MUELLER, Urs [CH/CH]; Syngenta Crop Pro-
tection AG, Schwarzwaldallee 215, CH-4058 Basel (CH).
WILLIAMS, John [GB/GB]; Syngenta Limited, Jealotts
Hill International Research Centre, Bracknell, Berkshire
RG42 6EY (GB).(74) Agents: HOUGHTON, Malcolm, John et al.; Intellectual
Property Department, Syngenta Limited, P.O. Box 3538,
Jealott's Hill International Research Centre, Bracknell
RG42 6YA (GB).(81) Designated States (national): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR,
CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,
MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,
SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.(84) Designated States (regional): ARIPO patent (BW, GH,
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,

[Continued on next page]

(54) Title: NAPHTHYRIDINE DERIVATIVES AND THEIR USE AS FUNGICIDES



(57) Abstract: Fungicidal compositions of the general formula (1): wherein one of W, X, Y and Z is N and the others are CR⁸; R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl, provided that when X is CH, Z is N, R is NHNH₂, R¹ is phenyl and R² is Cl, W and Y are not both CCH₃; one of R and R² is NR³R⁴ and the other is halo, C₁₋₈ alkyl, C₁₋₈ alkoxy, C₁₋₈ alkylthio, C₂₋₈ alkenyl, C₂₋₈ alkynyl or cyano; R¹ is aryl, heteroaryl, morpholino, piperidino or pyrrolidino; R³ and R⁴ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)-alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl, heteroaryl(C₁₋₈)alkyl, NR⁵R⁶, provided that not both R³ and R⁴ are H or NR⁵R⁶, or R³ and R⁴ together form a C₃₋₇ alkylene or C₃₋₇ alkenylene chain optionally substituted with one or more C₁₋₄ alkyl or C₁₋₄ alkoxy groups, or, together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine, thiomorpholine, thiomorpholine S-oxide or thiomorpholine S-dioxide ring or a piperazine or piperazine N-(C₁₋₄)alkyl (especially N-methyl) ring; and R⁵ and R⁶ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)-alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl or heteroaryl(C₁₋₈)alkyl; any of the foregoing alkyl, alkenyl, alkynyl or cycloalkyl groups or moieties (other than for R⁸) being optionally substituted with halogen, cyano, C₁₋₆ alkoxy, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxy, C₁₋₆ alkoxy, C₁₋₆ alkylthio, tri(C₁₋₄)alkylsilyl, C₁₋₆ alkylamino or C₁₋₆ ialkylamino, any of the foregoing morpholine, thiomorpholine, haloalkoxy, C₁₋₆ alkylthio, tri(C₁₋₄)alkylsilyl, C₁₋₆ alkylamino or C₁₋₆ ialkylamino, any of the foregoing morpholine, thiomorpholine, piperidine, piperazine and pyrrolidine rings being optionally substituted with C₁₋₄ alkyl (especially methyl), and any of the foregoing aryl or heteroaryl groups or moieties being optionally substituted with one or more substituents selected from halo, hydroxy, mercapto, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₂₋₆ alkenyloxy, C₂₋₆ alkynyloxy, halo(C₁₋₆)alkyl, halo(C₁₋₆)alkoxy, C₁₋₆ alkylthio, halo(C₁₋₆)alkylthio, hydroxy(C₁₋₆)alkyl, C₁₋₄ alkoxy(C₁₋₆)alkyl, C₁₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenoxy, benzyloxy, benzoyloxy, cyano, isocyano, thiocyanato, isothiocyanato, nitro, -NHCOR⁹, -NHCONR⁹R¹⁰, -CONK⁹R¹⁰, SO₂R⁹, -OSO₂R⁹, -COR⁹, -CR⁹=NR⁹ or -N=CR⁹R¹⁰, in which R⁹ and R¹⁰ are independently hydrogen, C₁₋₄ alkyl, halo-(C₁₋₄)alkyl, C₁₋₄ alkoxy, halo(C₁₋₄)alkoxy, C₁₋₄ alkylthio, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenyl or benzyl groups beings optionally substituted with halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy.



ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(88) Date of publication of the international search report:
14 October 2004

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/GB 03/05248

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D471/04 A01N43/90

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, WPI Data, PAJ, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JIAN-LONG CHEN ET. AL.: "Synthesis of Some Benzofuronaphthyridines and Benzofuronaphthyridine Derivatives" JOURNAL OF HETEROCYCLIC CHEMISTRY, vol. 30, no. 3, 1993, pages 909-12, XP009027298 page 909, compounds 2a, 2b, 3a, 3b	14
X	A. DORNOW ET. AL.: "Über Synthesen und Umsetzungen von 1,8-Naphthyridinen" ARCHIV DER PHARMAZIE, vol. 62, no. 3, 1957, pages 136-53, XP000562106 page 138, compounds IV, VII, X; page 140, compound XXIII ----- -/-	14

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *Z* document member of the same patent family

Date of the actual completion of the international search

27 July 2004

Date of mailing of the international search report

13.08.2004

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Helps, I

INTERNATIONAL SEARCH REPORT

International Application No

PCT/GB 03/05248

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	US 4 801 592 A (GRAF ET. AL.) 31 January 1989 (1989-01-31) cited in the application claims; examples	1-16
Y	EP 0 410 762 A (ELI LILLY & CO.) 30 January 1991 (1991-01-30) cited in the application claims; examples	1-16
A	US 5 821 244 A (SCHAPER ET. AL.) 13 October 1998 (1998-10-13) claims; examples	1-16
A	US 6 117 884 A (DAEUBLE ET. AL.) 12 September 2000 (2000-09-12) claims; examples	1-16
A	US 5 955 473 A (WAGNER ET. AL.) 21 September 1999 (1999-09-21) claims; examples	1-16
X	ZHANG-LIN ZHOU ET. AL.: "Synthesis and SAR of 5-, 6-, and 7-, and 8-Aza Analogues of 3-Aryl-4-hydroxyquinolin-2(IH)-one as NMDA/Glycine Site Antagonists." BIOORGANIC AND MEDICINAL CHEMISTRY, vol. 9, 2001, pages 2061-72, XP001182741 page 2062, Scheme 1, compounds 12a-12q and 13a-13q	14
X	US 5 852 042 A (JAKOBI ET. AL.) 22 December 1998 (1998-12-22) table 1, compounds 93, 94, 96, 97, 102, 112, 113	14

INTERNATIONAL SEARCH REPORT

International application No.
PCT/GB 03/05248

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. ☒ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-13, 14(partial), 15-16

Naphthyridine derivatives of formula I, their use as
fungicides and intermeidates of formula 5, 6 and 13

2. claim: 14(partial)

Intermediates of formula 4

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

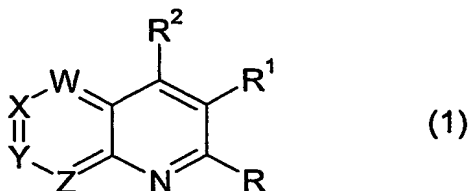
PCT/GB 03/05248

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
US 4801592	A	31-01-1989	DE 3644825 A1	14-07-1988
			AT 70274 T	15-12-1991
			DE 3775198 D1	23-01-1992
			EP 0275520 A1	27-07-1988
			ES 2028042 T3	01-07-1992
			GR 3003327 T3	17-02-1993
			JP 63174986 A	19-07-1988
EP 0410762	A	30-01-1991	AU 634561 B2	25-02-1993
			AU 5982590 A	31-01-1991
			BR 9003629 A	27-08-1991
			EP 0410762 A1	30-01-1991
			JP 3086881 A	11-04-1991
			US 5240916 A	31-08-1993
US 5821244	A	13-10-1998	DE 4308014 A1	15-09-1994
			AU 680656 B2	07-08-1997
			AU 6258394 A	11-10-1994
			CA 2158160 A1	29-09-1994
			CN 1119436 A	27-03-1996
			WO 9421613 A1	29-09-1994
			EP 0701552 A1	20-03-1996
			JP 2875630 B2	31-03-1999
			JP 8507539 T	13-08-1996
			PL 306092 A1	06-03-1995
			ZA 9401715 A	13-10-1994
US 6117884	A	12-09-2000	NONE	
US 5955473	A	21-09-1999	DE 19533653 A1	13-03-1997
			DE 19535208 A1	27-03-1997
			AU 6987096 A	01-04-1997
			WO 9710215 A1	20-03-1997
			EP 0852579 A1	15-07-1998
			JP 11512406 T	26-10-1999
			ZA 9607653 A	11-03-1998
US 5852042	A	22-12-1998	DE 4434637 A1	04-04-1996
			AU 3607095 A	19-04-1996
			BR 9509083 A	21-10-1997
			WO 9610016 A1	04-04-1996
			EP 0784615 A1	23-07-1997
			JP 10506115 T	16-06-1998
			TR 960256 A2	21-06-1996
			ZA 9508117 A	06-09-1996



CLAIMS

1. The compound of the general formula (1):



wherein

- 5 one of W, X, Y and Z is N and the others are CR⁸;

R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl, provided that when X is CH, Z is N, R is NHNH₂, R¹ is phenyl and R² is Cl, W and Y are not both CCH₃;

one of R and R² is NR³R⁴ and the other is halo, C₁₋₈ alkyl, C₁₋₈ alkoxy, C₁₋₈ alkylthio, C₂₋₈ alkenyl, C₂₋₈ alkynyl or cyano;

- 10 R¹ is aryl, heteroaryl, morpholino, piperidino or pyrrolidino;

R³ and R⁴ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)-alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl, heteroaryl(C₁₋₈)alkyl, NR⁵R⁶, provided that not both R³ and R⁴ are H or NR⁵R⁶, or

R³ and R⁴ together form a C₃₋₇ alkylene or C₃₋₇ alkenylene chain optionally

- 15 substituted with one or more C₁₋₄ alkyl or C₁₋₄ alkoxy groups, or,

together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine, thiomorpholine, thiomorpholine S-oxide or thiomorpholine S-dioxide ring or a piperazine or piperazine N-(C₁₋₄)alkyl (especially N-methyl) ring; and

R⁵ and R⁶ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)-alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl or heteroaryl(C₁₋₈)alkyl;

- 20 any of the foregoing alkyl, alkenyl, alkynyl or cycloalkyl groups or moieties (other than for R⁸) being optionally substituted with halogen, cyano, C₁₋₆ alkoxy, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxy carbonyl, C₁₋₆ haloalkoxy, C₁₋₆ alkylthio, tri(C₁₋₄)alkylsilyl, C₁₋₆ alkylamino or C₁₋₆ dialkylamino,

- 25 any of the foregoing morpholine, thiomorpholine, piperidine, piperazine and

pyrrolidine rings being optionally substituted with C₁₋₄ alkyl (especially methyl), and

any of the foregoing aryl or heteroaryl groups or moieties being optionally substituted with one or more substituents selected from halo, hydroxy, mercapto, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₂₋₆ alkenyloxy, C₂₋₆ alkynyloxy, halo(C₁₋₆)alkyl,

- 30 halo(C₁₋₆)alkoxy, C₁₋₆ alkylthio, halo(C₁₋₆)alkylthio, hydroxy(C₁₋₆)alkyl, C₁₋₄

- alkoxy(C₁₋₆)alkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenoxy, benzyloxy, benzoyloxy, cyano, isocyano, thiocyanato, isothiocyanato, nitro, -NR^{'''}R^{'''}, -NHCOR^{'''}, -NHCONR^{'''}R^{'''}, -CONR^{'''}R^{'''}, -SO₂R^{'''}, -OSO₂R^{'''}, -COR^{'''}, -CR^{'''}=NR^{'''} or -N=CR^{'''}R^{'''}, in which R^{'''} and R^{'''} are independently hydrogen, C₁₋₄ alkyl, halo-(C₁₋₄)alkyl, C₁₋₄ alkoxy, halo(C₁₋₄)alkoxy, C₁₋₄ alkylthio, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenyl or benzyl, the phenyl and benzyl groups being optionally substituted with halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy.
2. A compound according to claim 1 wherein W, X and Y are all CH and Z is N.
3. A compound according to claim 1 or 2 wherein R² is NR³R⁴.
4. A compound according to claim 3 wherein R is halo.
5. A compound according to any one of the preceding claims wherein R³ is C₁₋₈ alkyl, halo(C₁₋₈)alkyl, hydroxy(C₁₋₈)alkyl, C₁₋₄ alkoxy(C₁₋₈)alkyl, C₁₋₄ alkoxyhalo(C₁₋₈)alkyl, tri(C₁₋₄)alkylsilyl(C₁₋₆)alkyl, C₁₋₄ alkylcarbonyl(C₁₋₈)alkyl, C₁₋₄ alkylcarbonylhalo(C₁₋₈)alkyl, phenyl(C₁₋₄)alkyl, C₂₋₈ alkenyl, halo(C₂₋₈)alkenyl, C₂₋₈ alkynyl, C₃₋₈ cycloalkyl optionally substituted with chloro, fluoro or methyl, C₃₋₈ cycloalkyl(C₁₋₄)alkyl, phenylamino, piperidino or morpholino, the phenyl ring of phenylalkyl or phenylamino being optionally substituted with one, two or three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy and halo-(C₁₋₄)alkoxy; and R⁴ is H, C₁₋₄ alkyl, halo(C₁₋₄)alkyl or amino, or R³ and R⁴ together form a C₃₋₇ alkylene or C₃₋₇ alkenylene chain optionally substituted with methyl, or, together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine, thiomorpholine, thiomorpholine S-oxide or thiomorpholine S-dioxide ring or a piperazine or piperazine N-(C₁₋₄)alkyl (especially N-methyl) ring, in which the morpholine or piperazine rings are optionally substituted with methyl.
6. A compound according to any one of the preceding claims wherein R¹ is phenyl optionally substituted with from one to five halogen atoms or with from

- one to three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkoxy, pyridyl optionally substituted with from one to four halogen atoms or with from one to three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkoxy, 2- or 3-thienyl optionally substituted with from one to three halogen atoms or with from one to three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkoxy, or piperidino or morpholino both optionally substituted with one or two methyl groups.
- 5
7. A compound according to claim 6 wherein R¹ is 2,6-difluorophenyl, 2-fluoro-6-chlorophenyl, 2,5,6-trifluorophenyl, 2,4,6-trifluorophenyl, 2,6-difluoro-4-methoxyphenyl or pentafluorophenyl.
- 10
8. A compound according to claim 1 wherein one of W, X, Y and Z is N and the others are CR⁸;
- 15
- R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl, provided that when X is CH, Z is N, R is NHNH₂, R¹ is phenyl and R² is Cl, W and Y are not both CCH₃; one of R and R² (preferably R²) is NR³R⁴ and the other is halo;
- 20
- R¹ is aryl, heteroaryl, morpholino, piperidino or pyrrolidino;
- R³ and R⁴ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)-alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl, heteroaryl(C₁₋₈)alkyl, NR⁵R⁶, provided that not both R³ and R⁴ are H or NR⁵R⁶, or R³ and R⁴ together form a C₃₋₇ alkylene or C₃₋₇ alkenylene chain optionally substituted with one or more C₁₋₄ alkyl or C₁₋₄ alkoxy groups, or, together with the nitrogen atom to which they are attached, R³ and R⁴ form a
- 25
- morpholine, thiomorpholine, thiomorpholine S-oxide or thiomorpholine S-dioxide ring or a piperazine or piperazine N-(C₁₋₄)alkyl (especially N-methyl) ring; and R⁵ and R⁶ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)-alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl or heteroaryl(C₁₋₈)alkyl; any of the foregoing alkyl, alkenyl, alkynyl or cycloalkyl groups or moieties (other than for R⁸) being optionally substituted with halogen, cyano, C₁₋₆ alkoxy, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxycarbonyl, C₁₋₆ haloalkoxy, C₁₋₆ alkylthio, tri(C₁₋₄)alkylsilyl, C₁₋₆ alkylamino or C₁₋₆ dialkylamino,
- 30
- any of the foregoing morpholine, thiomorpholine, piperidine, piperazine and

- pyrrolidine rings being optionally substituted with C₁₋₄ alkyl (especially methyl), and any of the foregoing aryl, heteroaryl, aryloxy or heteroaryl groups being optionally substituted with one or more substituents selected from halo, hydroxy, mercapto, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₂₋₆ alkenyloxy, C₂₋₆ alkynyloxy, halo(C₁₋₆)alkyl, halo(C₁₋₆)alkoxy, C₁₋₆ alkylthio, halo(C₁₋₆)alkylthio, hydroxy(C₁₋₆)-alkyl, C₁₋₄ alkoxy(C₁₋₆)alkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenoxy, benzyloxy, benzoyloxy, cyano, isocyano, thiocyanato, isothiocyanato, nitro, -NR^{'''}R^{'''}, -NHCOR^{'''}, -NHCONR^{'''}R^{'''}, -CONR^{'''}R^{'''}, -SO₂R^{'''}, -OSO₂R^{'''}, -COR^{'''}, -CR^{'''}=NR^{'''} or -N=CR^{'''}R^{'''}, in which R^{'''} and R^{'''} are independently hydrogen, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy, halo(C₁₋₄)alkoxy, C₁₋₄ alkylthio, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenyl or benzyl, the phenyl and benzyl groups being optionally substituted with halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy.
9. A compound according to claim 1 wherein one of W, X, Y and Z is N and the others are CR⁸;
- R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl, provided that when X is CH, Z is N, R is NHNH₂, R¹ is phenyl and R² is Cl, W and Y are not both CCH₃; one of R and R² (preferably R²) is NR³R⁴ and the other is halo;
- R¹ is aryl, heteroaryl, morpholino, piperidino or pyrrolidino;
- R³ is C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₂₋₄ alkenyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)-alkyl or phenylamino in which the phenyl ring is optionally substituted with one, two or three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy and halo(C₁₋₄)alkoxy; and R⁴ is H, C₁₋₄ alkyl or amino, or R³ and R⁴ together form a C₄₋₆ alkylene chain optionally substituted with C₁₋₄ alkyl or C₁₋₄ alkoxy, or,
- together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine, thiomorpholine, thiomorpholine S-oxide or thiomorpholine S-dioxide ring or a piperazine or piperazine N-(C₁₋₄)alkyl (especially N-methyl) ring; any of the foregoing alkyl, alkenyl, alkynyl or cycloalkyl groups or moieties (other than for R⁸) being optionally substituted with halogen, cyano, C₁₋₆ alkoxy, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxycarbonyl, C₁₋₆ haloalkoxy, C₁₋₆ alkylthio, tri(C₁₋₄)alkylsilyl, C₁₋₆ alkylamino or C₁₋₆ dialkylamino, any of the foregoing morpholine, thiomorpholine, piperidine, piperazine and

pyrrolidine rings being optionally substituted with C₁₋₄ alkyl (especially methyl), and any of the foregoing aryl or heteroaryl groups or moieties being optionally substituted with one or more substituents selected from halo, hydroxy, mercapto, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₂₋₆ alkenyloxy, C₂₋₆ alkynyloxy, halo(C₁₋₆)alkyl, halo(C₁₋₆)alkoxy, C₁₋₆ alkylthio, halo(C₁₋₆)alkylthio, hydroxy(C₁₋₆)alkyl, C₁₋₄ alkoxy(C₁₋₆)alkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenoxy, benzyloxy, benzoyloxy, cyano, isocyano, thiocyanato, isothiocyanato, nitro, -NR^{'''}R^{'''}, -NHCOR^{'''}, -NHCONR^{'''}R^{'''}, -CONR^{'''}R^{'''}, -SO₂R^{'''}, -OSO₂R^{'''}, -COR^{'''}, -CR^{'''}=NR^{'''} or -N=CR^{'''}R^{'''}, in which R^{'''} and R^{'''} are independently hydrogen, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy, halo(C₁₋₄)alkoxy, C₁₋₄ alkylthio, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenyl or benzyl, the phenyl and benzyl groups being optionally substituted with halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy.

10. A compound according to claim 1 wherein one of W, X, Y and Z is N and the others are CR⁸;

R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl, provided that when X is CH, Z is N, R is NHNH₂, R¹ is phenyl and R² is Cl, W and Y are not both CCH₃; one of R and R² is NR³R⁴ and the other is halo, C₁₋₈ alkyl, C₁₋₈ alkoxy, C₁₋₈ alkylthio, C₂₋₈ alkenyl, C₂₋₈ alkynyl or cyano;

R¹ is optionally substituted phenyl;

R³ and R⁴ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)-alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl, heteroaryl(C₁₋₈)alkyl, NR⁵R⁶, provided that not both R³ and R⁴ are H or NR⁵R⁶, or

R³ and R⁴ together form a C₃₋₇ alkylene or C₃₋₇ alkenylene chain optionally substituted with one or more C₁₋₄ alkyl or C₁₋₄ alkoxy groups, or,

together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine, thiomorpholine, thiomorpholine S-oxide or thiomorpholine S-dioxide ring or a piperazine or piperazine N-(C₁₋₄)alkyl (especially N-methyl) ring; and

R⁵ and R⁶ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)-alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl or heteroaryl(C₁₋₈)alkyl; any of the foregoing alkyl, alkenyl, alkynyl or cycloalkyl groups or moieties (other than for R⁸) being optionally substituted with halogen, cyano, C₁₋₆ alkoxy, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxy carbonyl, C₁₋₆ haloalkoxy, C₁₋₆ alkylthio, tri(C₁₋₄)alkylsilyl,

C₁₋₆ alkylamino or C₁₋₆ dialkylamino,
 any of the foregoing morpholine, thiomorpholine, piperidine, piperazine and
 pyrrolidine rings being optionally substituted with C₁₋₄ alkyl (especially methyl), and
 any of the foregoing aryl or heteroaryl groups or moieties, including the phenyl group
 of R¹, being optionally substituted with one or more substituents selected from halo,
 hydroxy, mercapto, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₂₋₆ alkenyloxy,
 C₂₋₆ alkynyloxy, halo(C₁₋₆)alkyl, halo(C₁₋₆)alkoxy, C₁₋₆ alkylthio, halo(C₁₋₆)alkylthio,
 hydroxy(C₁₋₆)alkyl, C₁₋₄ alkoxy(C₁₋₆)alkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl,
 phenoxy, benzyloxy, benzoyloxy, cyano, isocyano, thiocyanato, isothiocyanato, nitro,
 -NR^{'''}R^{'''}, -NHCOR^{'''}, -NHCONR^{'''}R^{'''}, -CONR^{'''}R^{'''}, -SO₂R^{'''}, -OSO₂R^{'''}, -COR^{'''},
 -CR^{'''}=NR^{'''} or -N=CR^{'''}R^{'''}, in which R^{'''} and R^{'''} are independently hydrogen, C₁₋₄
 alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy, halo(C₁₋₄)alkoxy, C₁₋₄ alkylthio, C₃₋₆ cycloalkyl,
 C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenyl or benzyl, the phenyl and benzyl groups being
 optionally substituted with halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy.

15

11. A compound according to claim 1 wherein one of W, X, Y and Z is N and the others are CR⁸;

R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl, provided that when X is CH,
 Z is N, R is NHNH₂, R¹ is phenyl and R² is Cl, W and Y are not both CCH₃;

20

R is halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or cyano;

R¹ is phenyl optionally substituted with from one to five halogen atoms or with from
 one to three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy or
 halo(C₁₋₄)alkoxy, pyridyl optionally substituted with from one to four halogen atoms
 or with from one to three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl,
 C₁₋₄ alkoxy or halo(C₁₋₄)alkoxy, 2- or 3-thienyl optionally substituted with from one
 to three halogen atoms or with from one to three substituents selected from halo, C₁₋₄
 alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkoxy, or piperidino or morpholino
 both optionally substituted with one or two methyl groups;

25

R² is NR³R⁴;

30

R³ is C₁₋₈ alkyl, halo(C₁₋₈)alkyl, hydroxy(C₁₋₈)alkyl, C₁₋₄ alkoxy(C₁₋₈)alkyl, C₁₋₄
 alkoxyhalo(C₁₋₈)alkyl, tri(C₁₋₄)alkylsilyl(C₁₋₆)alkyl, C₁₋₄ alkylcarbonyl(C₁₋₈)alkyl,
 C₁₋₄ alkylcarbonylhalo(C₁₋₈)alkyl, phenyl(C₁₋₄)alkyl, C₂₋₈ alkenyl, halo(C₂₋₈)alkenyl,
 C₂₋₈ alkynyl, C₃₋₈ cycloalkyl optionally substituted with chloro, fluoro or methyl, C₃₋₈

cycloalkyl(C₁₋₄)alkyl, phenylamino, piperidino or morpholino, the phenyl ring of phenylalkyl or phenylamino being optionally substituted with one, two or three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy and halo(C₁₋₄)alkoxy; and

5 R⁴ is H, C₁₋₄ alkyl, halo(C₁₋₄)alkyl or amino; or

R³ and R⁴ together form a C₃₋₇ alkylene or C₃₋₇ alkenylene chain optionally substituted with methyl, or,

together with the nitrogen atom to which they are attached, R³ and R⁴ form a

morpholine, thiomorpholine, thiomorpholine S-oxide or thiomorpholine S-dioxide

10 ring or a piperazine or piperazine N-(C₁₋₄)alkyl (especially *N*-methyl) ring, in which the morpholine or piperazine rings are optionally substituted with methyl.

12. A compound according to claim 1 wherein one of W, X, Y and Z is N and the others are CR⁸;

15 R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl;

R is halo;

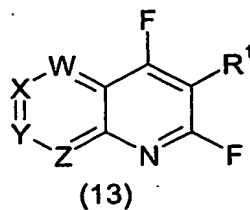
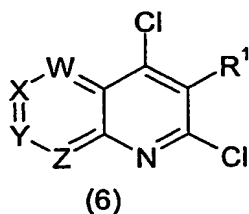
R¹ is phenyl optionally substituted with from one to five halogen atoms or with from one to three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkoxy;

20 R² is NR³R⁴;

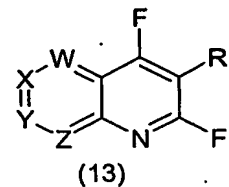
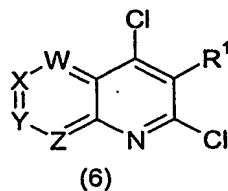
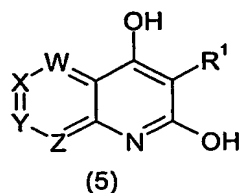
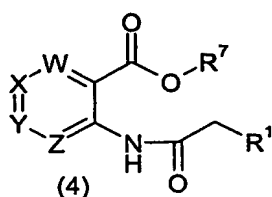
R³ is C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₂₋₄ alkenyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)-alkyl or phenylamino in which the phenyl ring is optionally substituted with one, two or three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy and halo(C₁₋₄)alkoxy; and

25 R⁴ is H, C₁₋₄ alkyl or amino, or R³ and R⁴ together form a C₄₋₆ alkylene chain optionally substituted with methyl, or, together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine ring.

13. A process for preparing a compound of the general formula (1) according to claim 1
30 wherein one of R and R² is chloro or fluoro and the other is NR³R⁴ and W, X, Y, Z, R¹, R³ and R⁴ are as defined in claim 1, which comprises reacting an amine of the general formula NR³R⁴ with a compound of the general formula (6) or (13):



14. The intermediate chemicals having the general formulae (4), (5), (6) and (13):



wherein W, X, Y, Z and R¹ are as defined in claim 1 and R⁷ is C₁₋₄ alkyl.

15. A plant fungicidal composition comprising a fungicidally effective amount of a compound as defined in claim 1 and a suitable carrier or diluent therefor.

16. A method of combating or controlling phytopathogenic fungi which comprises applying to a plant, to a seed of a plant, to the locus of the plant or seed or to soil or to any other plant growth medium, a fungicidally effective amount of a compound according to claim 1 or a composition according to claim 15.